

[PE1-8] [ 10/19/2001 (Fri) 09:00 – 12:00 / Hall D ]

### Electrically Assisted Topical Delivery of Ascorbyl Palmitate

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Ascorbyl palmitate(AsP), a prodrug of ascorbic acid(AsA), was encapsulated in liposome. Negatively charged MLV liposomes containing AsP were prepared with dimyristoylphosphatidylcholine and dicetyl phosphate, and dispersed to poloxamer gel matrix. Characterizations of liposome, such as size, surface charges and drug encapsulation ratio, were evaluated and the properties of dermal drug delivery of liposomal formulations under passive or electrically assisted conditions were compared. In the passive skin penetration study, flux( $\mu\text{g}/\text{cm}^2/\text{hr}$ ) of AsP( $J_{\text{AsP}}$ ) and produced AsA( $J_{\text{AsA}}$ ) by skin esterase were calculated as  $12.40 \pm 0.23$  and  $2.30 \pm 0.25$ , respectively. Amount of AsP accumulated to the skin during penetration after 1 hr was  $2.49 \pm 0.62 \mu\text{g}/\text{cm}^2$ .  $J_{\text{AsP}}$  was increased more than two times by cathodal iontophoresis (0.4mA current) compared to the passive conditions. On the other hand, in vitro hydrolysis of AsP in rats skin homogenates was observed. AsP hydrolyzed to AsA according to the first-order kinetics with the rate constant of  $2.46 \times 10^{-2} \text{ min}^{-1}$  and half-life of 28.14min. Conclusively, liposome promoted AsP permeation into the skin efficiently due to its lipophilic nature and AsA can be produced from penetrated AsP by the cleavage action of skin esterase. Moreover, liposomal formulation and electrical assistances are considered as a good supplemental remedy for enhancing dermal delivery of AsP.

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### FITC Albumin degradation and release from PLGA microsphere

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Biodegradable poly(D,L-lactide-co-glycolide)(PLGA) microspheres containing FITC albumin were elaborated by solvent evaporation method based on the formation of double W/O/W emulsion. In vitro matrix degradation and protein release of these microspheres were performed in phosphate-buffered saline(PBS)(0.15M,ph7.4). The degradation profiles were characterized by scanning electron microscope (SEM).The release profiles were investigated the release characteristics of FITC-albumin.It was showed that FITC-albumin release profiles were dependent on the polymeric microsphere degradation. The initial burst release of FITC-albumin was increased with the increased loading contents of it in the microspheres.It is suggested that these microsphere can be optimized as carriers of protein delivery system for different purpose.

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### Phonophoretic Delivery of Triamcinolone acetonide Gel

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Triamcinolone acetonide (TA) is one of the corticosteroid, it is used in the systemic and topical

treatment of a variety of inflammatory conditions. Conventionally, for topical use, the drug is formulated in a cream, ointment and gel. We designed an phonophoretic drug delivery system to investigate the TA permeability and the influence of ultrasound application(continuous, pulse), intensity(1.0MHz, 3.0MHz) and frequency(1.0w/cm<sup>2</sup>, 2.5w/cm<sup>2</sup>) with 0.1% TA gel. Percutaneous absorption studies are performed in vitro models to determine the rate of drug absorption via the skin. Permeation study using mouse skin was performed at 37°C using buffer saline (pH 7.4 transcutol solution) as the receptor solution. The pronounced effect of ultrasound on the skin absorption of the TA was observed at all ultrasound energy level studied. Ultrasound was carried out 10 hours. The highest permeation was observed at an intensity 2.5w/cm<sup>2</sup>, frequency 1.0MHz and continuous output. With pulsed output it was possible to use higher intensities of ultrasound without increasing skin temperature to skin damage. But pulsed output is lower permeability than continuous output.

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### **Release Characteristics of a Poorly Water-soluble Drug Loaded in Solid Dispersion and Its Tablet**

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Release characteristics of drug loaded in polymeric solid dispersion and its matrix tablet were investigated. Cisapride was used as a model compound. The drug, solubilizers[surfactant and fatty acid] and polymeric bases[PVP or Eudragit® RS100/L100(1:1 w/w)] were mixed and sprayed using an air atomizing fluid bed spray dryer at 60°C. The obtained solid dispersion(70%) was further processed in tablet. The release characteristics were evaluated using USP dissolution method in simulated gastric fluid (pH 1.2) for 2h and intestinal fluid(pH 6.8) for 4h. The release profiles were highly dependent on the presence of solubilizers, polymeric bases and dosage forms. The release rate increased when the solubilizers were added. However, the release rate was so low(<10%) in intestinal fluid due to poor solubility that effect of solubilizers and polymeric bases were not distinguishable. There was significant difference in the release rate of drug from the solid dispersions. When solid dispersion was further processed into tablet, release rate of drug in gastric fluid from the Eudragit® based solid dispersion was drastically decreased due to its sustaining action. In case of tablet containing PVP based solid dispersion, the release profiles were almost unchanged. As a result, solubilizers showed different behaviors when formulated into solid dispersion and its tablet, depending on the polymeric bases. The current system may provide an alternative to deliver poorly water-soluble drugs for enhanced bioavailability in a controlled fashion. This work was supported by grant No 2000-1-21700-001-3 from the Korea Science & Engineering Foundation.

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### **Prediction method on the effect of transdermal enhancer I: Flux study using model drug and enhancer**

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The final goal of this work is to develop a quantitative and/or qualitative methodology, which can predict the effect of various enhancers on the transdermal flux. In order to carry out this task, first of all, we needed flux data which are produced under homogeneous experimental condition. In this paper, we studied the effect of enhancers (2 hydrophobic and 2 hydrophilic) on the flux of model compounds (antipyrone, atropine, benzoic acid, chloraminophenamide, nicotinic acid). These 5 compounds are chosen based on their molecular weight and partition coefficient, which are the most important factor governing the transdermal flux. In all flux experiments, donor side was aqueous solution saturated with each compound. All flux data from the combination of enhancers and compounds will be presented.